```
* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1           Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2           "Ask CAS" for self-help around the clock
 NEWS  3  FEB 28   PATDPAFULL - New display fields provide for legal status
                   data from INPADOC
 NEWS  4  FEB 28   BABS - Current-awareness alerts (SDIs) available
 NEWS  5  MAR 02   GBFULL: New full-text patent database on STN
 NEWS  6  MAR 03   REGISTRY/ZREGISTRY - Sequence annotations enhanced
 NEWS  7  MAR 03   MEDLINE file segment of TOXCENTER reloaded
 NEWS  8  MAR 22   KOREAPAT now updated monthly; patent information enhanced
 NEWS  9  MAR 22   Original IDE display format returns to REGISTRY/ZREGISTRY
 NEWS  10 MAR 22   PATDPASPC - New patent database available
 NEWS  11 MAR 22   REGISTRY/ZREGISTRY enhanced with experimental property tags
 NEWS  12 APR 04   EPFULL enhanced with additional patent information and new
                   fields
 NEWS  13 APR 04   EMBASE - Database reloaded and enhanced
 NEWS  14 APR 18   New CAS Information Use Policies available online
 NEWS  15 APR 25   Patent searching, including current-awareness alerts (SDIs),
                   based on application date in CA/CAplus and USPATFULL/USPAT2
                   may be affected by a change in filing date for U.S.
                   applications.
 NEWS  16 APR 28   Improved searching of U.S. Patent Classifications for
                   U.S. patent records in CA/CAplus
 NEWS  17 MAY 23   GBFULL enhanced with patent drawing images
 NEWS  18 MAY 23   REGISTRY has been enhanced with source information from
                   CHEMCATS
 NEWS  19 JUN 06   STN Patent Forums to be held in June 2005
 NEWS  20 JUN 06   The Analysis Edition of STN Express with Discover!
                   (Version 8.0 for Windows) now available
 NEWS  21 JUN 13   RUSSIAPAT: New full-text patent database on STN
 NEWS  22 JUN 13   FRFULL enhanced with patent drawing images
 NEWS  23 JUN 20   MEDICONF to be removed from STN
 NEWS  24 JUN 27   MARPAT displays enhanced with expanded G-group definitions
                   and text labels

 NEWS EXPRESS  JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

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 NEWS WWW      CAS World Wide Web Site (general information)

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  * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 18:35:30 ON 29 JUN 2005
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=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                        ENTRY    SESSION
FULL ESTIMATED COST                                      0.21       0.21

FILE 'REGISTRY' ENTERED AT 18:35:39 ON 29 JUN 2005
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STRUCTURE FILE UPDATES:   28 JUN 2005  HIGHEST RN 853177-57-8
DICTIONARY FILE UPDATES:  28 JUN 2005  HIGHEST RN 853177-57-8

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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*************************************************************************
*                                                                       *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                       *
*************************************************************************

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
L1      STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 18:38:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     76 TO ITERATE

100.0% PROCESSED      76 ITERATIONS                              1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          997 TO     2043
PROJECTED ANSWERS:               1 TO       80

L2            1 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 18:38:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   1649 TO ITERATE

100.0% PROCESSED    1649 ITERATIONS                           38 ANSWERS
SEARCH TIME: 00.00.01
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L3           38 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   163.48     163.69

FILE 'CAPLUS' ENTERED AT 18:38:59 ON 29 JUN 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 29 Jun 2005  VOL 143 ISS 1
FILE LAST UPDATED: 28 Jun 2005  (20050628/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

=> s l3
L4           15 L3

=> d l4 1-15 bib abs hitstr

L4   ANSWER 1 OF 15  CAPLUS  COPYRIGHT 2005 ACS on STN
Full Text
AN   2004:565914  CAPLUS
DN   141:325564
TI   Serotonin receptor agonists buspirone and (+/-)-DOB: Tritiation at high
     specific activity
AU   Ahern, D. G.; Seguin, R. J.; Filer, C. N.
CS   PerkinElmer Life and Analytical Sciences, Inc., Boston, MA, 02118, USA
SO   Journal of Radioanalytical and Nuclear Chemistry (2004), 261(2), 465-467
     CODEN: JRNCDM; ISSN: 0236-5731
PB   Kluwer Academic Publishers
DT   Journal
LA   English
AB   Serotonergic agonists buspirone 1 and (+/-)-DOB 4 have been labeled with
     tritium at high specific activity and have emerged as valuable tools to
     study the serotonin receptor family.
IT 118286-97-8
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (tritiation of serotonin receptor agonists buspirone and (+/-)-DOB at
        high specific activity)
RN   118286-97-8  CAPLUS
CN   8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-
     butynyl]- (9CI)  (CA INDEX NAME)
```
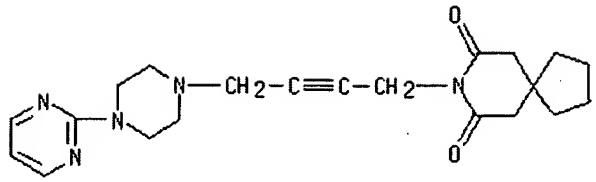
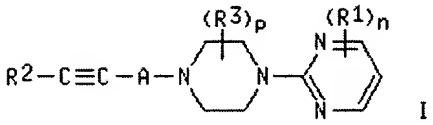



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
Full Text
AN 2004:287842 CAPLUS
DN 140:303702
TI Preparation of alkynylpiperazinylpyrimidines as mGluR5 receptor function inhibitors for treatment of pain, addiction, Parkinson's disease, etc.
IN Chen, Zhengming; Tafesse, Laykea
PA Euro-Celtique, S.A., Luxembourg
SO PCT Int. Appl., 272 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004029044	A1	20040408	WO 2003-US30187	20030924
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004127501	A1	20040701	US 2003-669875	20030923
EP 1542991	A1	20050622	EP 2003-798728	20030924
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI US 2002-413193P	P	20020924		
US 2003-456042P	P	20030319		
WO 2003-US30187	W	20030924		

OS MARPAT 140:303702
GI



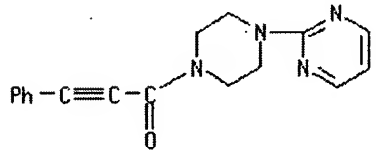
I

AB Title compds. [I; A = CO, CS, CH2, CHA1, C(A1)2; A1 = alkyl; n = 0-3; p = 0-2; R1 = alkyl, alkoxy, halo, CX3, CHX2, CH2X, NO2, OH, cyano; X = halo; R2 = (substituted) Ph, naphthyl, aryl, etc.; R3 = OH, halo, NO2, cyano, amino, CH2OH; with provisos], were prepd. Thus, 1-(2-pyrimidinyl)piperazine dihydrochloride, 3-phenyl-2-propynoic acid, 1-hydroxybenzotriazole, and EDC were stirred together for 4 h in DMF to

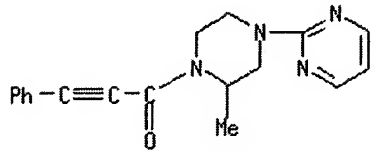
give 40% 1-(2-pyrimidinyl)-4-(phenylethynylcarbonyl)piperazine [AAA(IIA)]. AAA(IIA) bound to mGluR5 receptors with IC50 = 554.8 nM. Condition such as pain, urinary incontinence, an addictive disorder, Parkinson's disease, parkinsonism, anxiety, epilepsy, stroke, a seizure, a pruritic condition, psychosis, a cognitive disorder, a memory deficit, restricted brain function, Huntington's chorea, amyotrophic lateral sclerosis, dementia, retinopathy, a muscle spasm, a migraine, vomiting, dyskinesia, or depression in an animal comprising administering to an animal in need thereof an effective amt. of a 2-Pyrimidinylpiperazine Compd.

IT **676596-25-1P 676596-26-2P 676596-27-3P**
676596-28-4P 676596-29-5P 676596-30-8P
676596-31-9P 676596-32-0P 676596-33-1P
676596-34-2P 676596-35-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of alkynylpiperazinylpyrimidines as mGluR5 receptor function inhibitors for treatment of pain, addiction, Parkinson's disease, etc.)

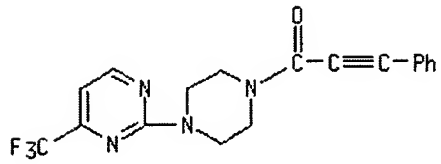
RN 676596-25-1 CAPLUS
CN Piperazine, 1-(1-oxo-3-phenyl-2-propynyl)-4-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)



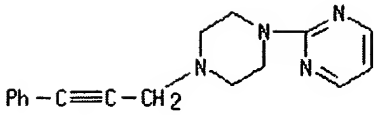
RN 676596-26-2 CAPLUS
CN Piperazine, 2-methyl-1-(1-oxo-3-phenyl-2-propynyl)-4-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)



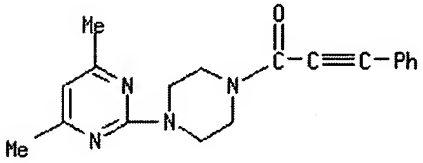
RN 676596-27-3 CAPLUS
CN Piperazine, 1-(1-oxo-3-phenyl-2-propynyl)-4-[4-(trifluoromethyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



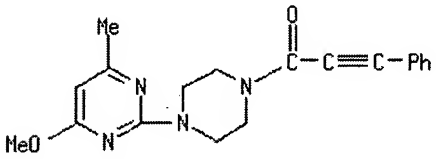
RN 676596-28-4 CAPLUS
CN Pyrimidine, 2-[4-(3-phenyl-2-propynyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



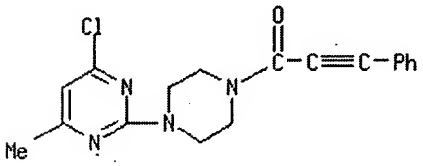
RN 676596-29-5 CAPLUS
CN Piperazine, 1-(4,6-dimethyl-2-pyrimidinyl)-4-(1-oxo-3-phenyl-2-propynyl)- (9CI) (CA INDEX NAME)



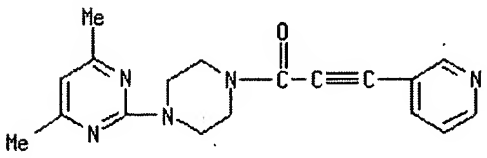
RN 676596-30-8 CAPLUS
CN Piperazine, 1-(4-methoxy-6-methyl-2-pyrimidinyl)-4-(1-oxo-3-phenyl-2-propynyl)- (9CI) (CA INDEX NAME)



RN 676596-31-9 CAPLUS
CN Piperazine, 1-(4-chloro-6-methyl-2-pyrimidinyl)-4-(1-oxo-3-phenyl-2-propynyl)- (9CI) (CA INDEX NAME)

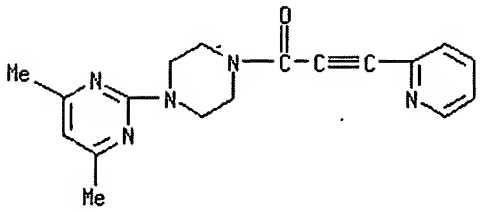


RN 676596-32-0 CAPLUS
CN Piperazine, 1-(4,6-dimethyl-2-pyrimidinyl)-4-[1-oxo-3-(3-pyridinyl)-2-propynyl]- (9CI) (CA INDEX NAME)

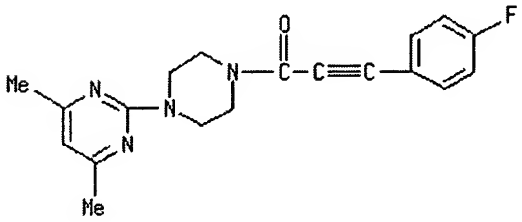


RN 676596-33-1 CAPLUS

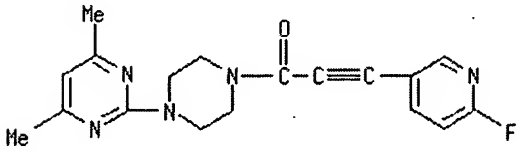
CN Piperazine, 1-(4,6-dimethyl-2-pyrimidinyl)-4-[1-oxo-3-(2-pyridinyl)-2-propynyl]- (9CI) (CA INDEX NAME)



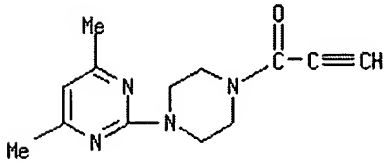
RN 676596-34-2 CAPLUS
CN Piperazine, 1-(4,6-dimethyl-2-pyrimidinyl)-4-[3-(4-fluorophenyl)-1-oxo-2-propynyl]- (9CI) (CA INDEX NAME)



RN 676596-35-3 CAPLUS
CN Piperazine, 1-(4,6-dimethyl-2-pyrimidinyl)-4-[3-(6-fluoro-3-pyridinyl)-1-oxo-2-propynyl]- (9CI) (CA INDEX NAME)



IT **676596-38-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of alkynylpiperazinylpyrimidines as mGluR5 receptor function inhibitors for treatment of pain, addiction, Parkinson's disease, etc.)
RN 676596-38-6 CAPLUS
CN Piperazine, 1-(4,6-dimethyl-2-pyrimidinyl)-4-(1-oxo-2-propynyl)- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
Full Text
AN 2003:282581 CAPLUS
DN 138:287900
TI Preparation of 2-propynyl adenosine analogs having A2a agonist antiinflammatory activity
IN Linden, Joel M.; Rieger, Jayson M.; MacDonald, Timothy L.; Sullivan, Gail W.; Murphree, Lauren Jean; Figler, Robert Alan
PA University of Virginia Patent Foundation, USA
SO PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003029264	A2	20030410	WO 2002-US31383	20021001
	WO 2003029264	A3	20031030		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2460911	AA	20030410	CA 2002-2460911	20021001
	US 2003186926	A1	20031002	US 2002-263379	20021001
	EP 1434782	A2	20040707	EP 2002-800432	20021001
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	JP 2005508933	T2	20050407	JP 2003-532511	20021001
PRAI	US 2001-326517P	P	20011001		
	US 2002-383200P	P	20020524		
	WO 2002-US31383	W	20021001		

OS MARPAT 138:287900
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 2-Propynyl adenosine analogs I, wherein Z is substituted alkyl or amine; X is CH2ORa, CO2Ra, O(CO)Ra, CH2OC(O)Ra, C(O)RaRb, CH2SRa, C(S)ORa, OC(S)Ra, CH2OC(S)Ra, C(S)NRaRb, CH2NRaRb; Ra and Rb are each independently hydrogen, alkyl, alkyl substituted with alkoxy, cycloalkyl, alkylthio, amino acid, aryl, arylalkylene, heteroaryl, or heteroarylalkylene; or Ra and Rb, together with the nitrogen to which they are attached, form a pyrrolidino, piperidino, morpholino, or thiomorpholino ring; m is 0-8; R is independently hydrogen, alkyl, cycloalkyl, aryl or arylalkylene, heteroaryl, heteroarylalkylene; R1 is independently hydrogen, halo, ORa, SRa, alkyl, cyano, nitro, trifluoromethyl, trifluoromethoxy, cycloalkyl, heterocycle, heterocycle alkylene, aryl, arylalkylene, heteroaryl, heteroarylalkylene, CO2Ra, RaC(O)O, RaC(O),' OCO2Ra, RaRbNC(O)O, RbOC(O)N(Ra), RaRbN, RaRbNC(O), RaC(O)N(Rb), RaRbNC(O)N(Rb), RaRbNC(S)N(Rb), OPO3Ra, RaOC(S), RaC(S), SSRa, RaS(O), RaS(O)2, NNRa, or OPO2Ra; R1 and R2 are independently hydrogen, halo, alkyl, cycloalkyl,

heterocycle, heterocycle alkylene, aryl, arylalkylene, heteroaryl, or heteroarylalkylene; or R1 and R2 and the atom to which they are attached is CO, CS or CNRC; Rc is H, alkyl; were prepd. as A2a adenosine receptor agonists. Thus, nucleoside II was prepd. and tested in vitro as A2a agonist antiinflammatory agent.

IT **506438-23-9P**

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-propynyl adenosine analogs having A2a agonist antiinflammatory activity)

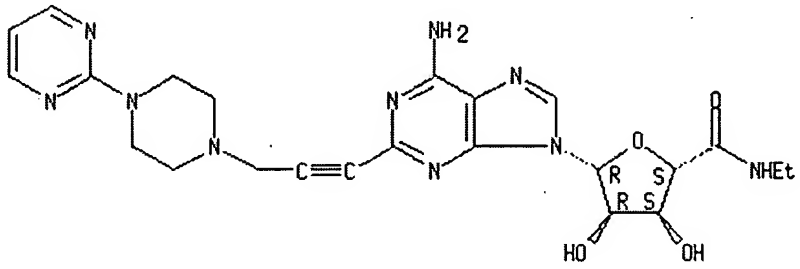
RN 506438-23-9 CAPLUS

CN β-D-Ribofuranuronamide, 1-[6-amino-2-[3-[4-(2-pyrimidinyl)-1-piperazinyl]-1-propynyl]-9H-purin-9-yl]-1-deoxy-N-ethyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

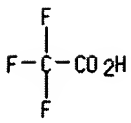
CRN 506438-22-8
CMF C23 H28 N10 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L4 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
Full Text

AN 2000:217767 CAPLUS

DN 133:79475

TI Liquid chromatographic method for the analysis of buspirone-HCl and its potential impurities

AU Kartal, Murat; Khedr, Alaa; Sakr, Adel

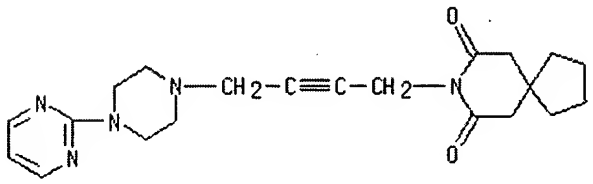
CS College of Pharmacy, University of Cincinnati, Cincinnati, OH, 45267-0004, USA

SO Journal of Chromatographic Science (2000), 38(4), 151-156
CODEN: JCHSBZ; ISSN: 0021-9665

PB Preston Publications
DT Journal
LA English
AB An accurate, reproducible, and sensitive method for the detn. of buspirone and its potential impurities was developed and validated. The validated HPLC method was conducted to meet the Food and Drug Administration/International Conference on Harmonization requirements for the anal. of buspirone in the presence of its impurities. Five buspirone potential impurities, including 1-(2-pyrimidinyl)piperazine (I), propargyl chloride, glutarimide, propargylglutarimide (II), and the fumarate salt of Mannich base-condensate (I-II) were sepd. on a μBondapak C18 column by gradient elution with a flow rate 2.0 mL/min. The initial mobile phase compn. was pH 6.1 10 mM KH2PO4-MeCN (90:10). After a 1-min initial hold, a linear gradient was performed in 26 min to pH 6.1 10 mM KH2PO4-MeCN (35:65). The samples were detected at 210 and 240 nm by using a photo-diode array detector. The linear range of detection for buspirone was between 1.25 and 500 ng/μL, with a limit of quantification of 1.25 ng/μL. The linearity, range, peak purity, selectivity, system performance parameters, precision, accuracy, and robustness for all of the impurities also had acceptable values. (c) 2000 Preston Publications.
IT **278601-50-6**
RL: ANT (Analyte); ANST (Analytical study)
(HPLC for detn. of buspirone and its potential impurities)
RN 278601-50-6 CAPLUS
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

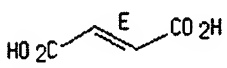
CRN 118286-97-8
CMF C21 H27 N5 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

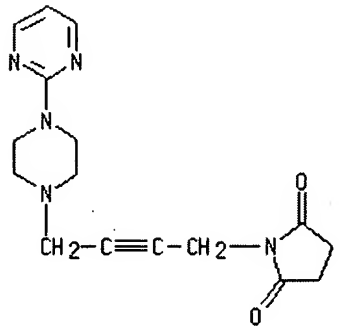
Double bond geometry as shown.



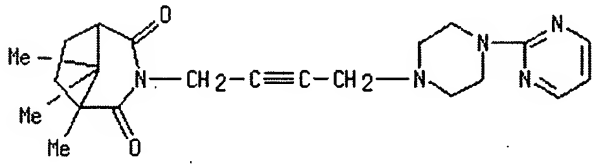
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
Full Text
AN 1998:232021 CAPLUS
DN 128:303637

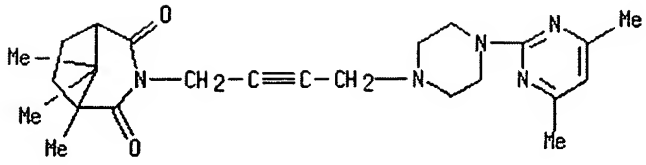
TI Analysis of structure-antiemetic activity relations of piperazinylalkyl derivatives of cyclic imides
AU Naletov, S. V.; Lyashchuk, S. N.; Voshchula, V. N.; Dulenko, V. I.; Khabarov, K. M.; Beletskii, E. A.
CS Donetsk. Med. Inst., Donetsk, Ukraine
SO Khimiko-Farmatsevticheskii Zhurnal (1997), 31(9), 35-40
CODEN: KHFZAN; ISSN: 0023-1134
PB Izdatel'stvo Folium
DT Journal
LA Russian
AB The structure-related antiemetic activity of piperazinylalkyl derivs. of cyclic imides was studied in pigeons.
IT **135705-03-2 206645-37-6 206645-45-6**
206645-57-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(structure-antiemetic activity relations of piperazinylalkyl derivs. of cyclic imides)
RN 135705-03-2 CAPLUS
CN 2,5-Pyrrolidinedione, 1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



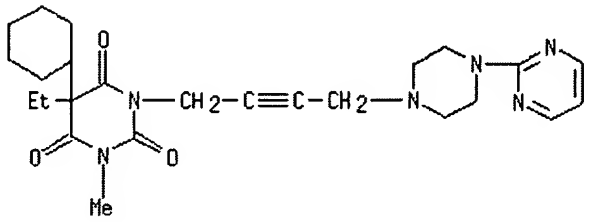
RN 206645-37-6 CAPLUS
CN 3-Azabicyclo[3.2.1]octane-2,4-dione, 1,8,8-trimethyl-3-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



RN 206645-45-6 CAPLUS
CN 3-Azabicyclo[3.2.1]octane-2,4-dione, 3-[4-[4-(4,6-dimethyl-2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-1,8,8-trimethyl- (9CI) (CA INDEX NAME)



RN 206645-57-0 CAPLUS
CN 2,4,6(1H,3H,5H)-Pyrimidinetrione, 5-cyclohexyl-5-ethyl-1-methyl-3-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)

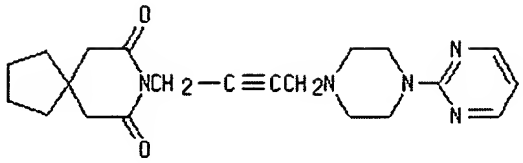


L4 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
Full Text
AN 1995:465559 CAPLUS
DN 122:214105
TI Preparation of 8-[4-[4-(pyrimidin-2-yl)piperazin-1-yl]butyl]-8-azaspiro[4,5]decan-7,9-dione and its hydrochlorides.
IN Mezei, Tibor; Blasko, Gabor; Budai, Zoltan; Csoergo, Margit; Furdyga, Eva; Klebovich, Imre; Koncz, Laszlo; Szaruhar, Ilona; Mandi, Attila; et al.
PA EGIS Gyogyszergyar RT., Hung.
SO Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DT Patent
LA Hungarian
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 634411	A1	19950118	EP 1994-111037	19940715
	EP 634411	B1	19990526		
	R: AT, BE, CH, DE, DK, LI, NL, SE				
	HU 69720	A2	19950928	HU 1993-2040	19930716
	HU 217129	B	19991129		
	FR 2709128	A1	19950224	FR 1994-8621	19940712
	FR 2709128	B1	19960223		
	US 5473072	A	19951205	US 1994-274848	19940714
	RU 2131875	C1	19990620	RU 1994-26281	19940714
	CZ 287531	B6	20001213	CZ 1994-1709	19940714
	CA 2128164	AA	19950117	CA 1994-2128164	19940715
	GB 2280185	A1	19950125	GB 1994-14325	19940715
	GB 2280185	B2	19970416		
	ZA 9405210	A	19960115	ZA 1994-5210	19940715
	ES 2082722	A1	19960316	ES 1994-1543	19940715
	ES 2082722	B1	19961001		
	AT 180480	E	19990615	AT 1994-111037	19940715
	PL 176708	B1	19990730	PL 1994-304313	19940715
	SK 281622	B6	20010510	SK 1994-861	19940715
	JP 07165755	A2	19950627	JP 1994-165115	19940718
PRAI	HU 1993-2040	A	19930716		

OS CASREACT 122:214105

GI



II

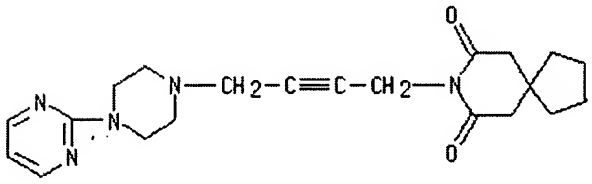
AB Buspirone (I) and hydrochloride salts thereof were prepd. by (1) adding a ≥40 wt.% soln. of alkyne (II) in an inert org. solvent to a suspension of Pd or Raney Ni in an inert org. solvent under hydrogenation conditions, and (2) optional salification with HCl. Thus, a soln. of 100 g II in 140 mL MeOH was added to a suspension of 4 g 5% Pd/C in 250 mL MeOH over 2-4 h under 1 bar H to give 100% I.

IT **118286-97-8**

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 8-[4-[4-(pyrimidin-2-yl)piperazin-1-yl]butyl]-8-azaspiro[4,5]decan-7,9-dione and its hydrochlorides by hydrogenation of a butyne deriv.)

RN 118286-97-8 CAPLUS

CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

Full Text

AN 1993:139676 CAPLUS

DN 118:139676

TI Serotonergic and dopaminergic mechanisms of the action of 1-pyrimidinylpiperazine derivatives

AU Abramets, I. I.; Obraztsova, O. G.; Samoilovich, I. M.; Kharin, N. A.

CS Dep. Pharmacol., M. Gorky Donetsk Med. Inst., Donetsk, 340098, Ukraine

SO Eksperimental'naya i Klinicheskaya Farmakologiya (1992), 55(3), 8-11
CODEN: EKFAE9; ISSN: 0869-2092

DT Journal

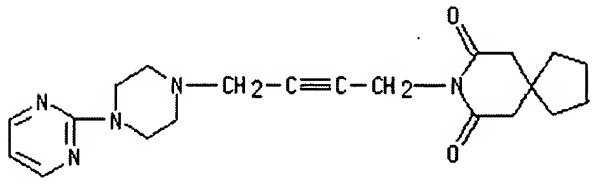
LA Russian

AB In spinal ganglia neurons of rats, 1-pyrimidinylpiperazine derivs. showed properties of partial agonists of 5-HT1A-receptors. Some of them were capable of blocking D2-dopamine receptors. Comparison of neuronal and behavioral activity of the substances has demonstrated that their anxiolytic activity detectable in conflict situation method correlated with the 5-HT1A-mimetic and antidopamine activity. The latter one correlated well with the influence of the substances on the time of immobilization in the forced swimming test.

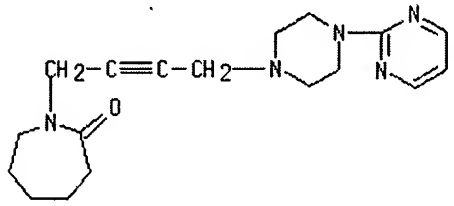
IT **118286-97-8 146583-09-7**

RL: PRP (Properties)
(psychotropic effects of, dopaminergic and serotoninergic mechanisms of)

RN 118286-97-8 CAPLUS
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



RN 146583-09-7 CAPLUS
CN 2H-Azepin-2-one, hexahydro-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



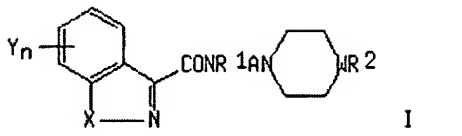
L4 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
Full Text
AN 1993:80954 CAPLUS
DN 118:80954
TI Preparation of N-[4-[(hetero)aryl]piperazinoalkyl]benzisothiazole-3-carboxamides and analogs as antipsychotics
IN Hrib, Nicholas J.; Jurcak, John G.
PA Hoechst-Roussel Pharmaceuticals Inc., USA
SO U.S., 14 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5143923	A	19920901	US 1991-693168	19910429
	CA 2067404	AA	19921030	CA 1992-2067404	19920408
	CA 2067404	C	20030819		
	EP 511610	A1	19921104	EP 1992-107138	19920427
	EP 511610	B1	19960911		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
	IL 101700	A1	19951127	IL 1992-101700	19920427
	PL 168090	B1	19960131	PL 1992-294358	19920427
	PL 168870	B1	19960430	PL 1992-309056	19920427
	AT 142628	E	19960915	AT 1992-107138	19920427
	ES 2094843	T3	19970201	ES 1992-107138	19920427
	NO 9201654	A	19921030	NO 1992-1654	19920428
	NO 180488	B	19970120		
	NO 180488	C	19970430		

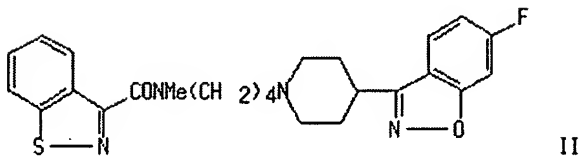
	AU 9215187	A1	19921105	AU 1992-15187	19920428
	AU 644054	B2	19931202		
	JP 05132472	A2	19930528	JP 1992-108229	19920428
	RU 2039057	C1	19950709	RU 1992-5011480	19920428
	CZ 282764	B6	19971015	CZ 1992-1298	19920428
	KR 215345	B1	19990816	KR 1992-7140	19920428
	HU 62889	A2	19930628	HU 1992-1414	19920429
	HU 214032	B	19971229		
	US 5225412	A	19930706	US 1992-899518	19920616
	US 5143923	B1	19931102	US 1992-90002891	19921116
PRAI	US 1991-693168	A	19910429		

OS MARPAT 118:80954

GI



I



II

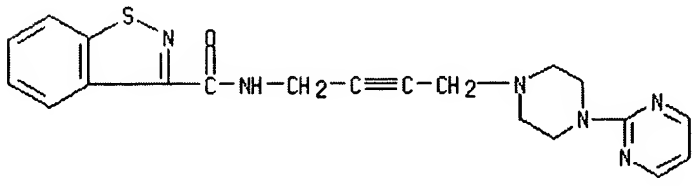
AB Title compds. [I; A = alkylene, CHR4ZCHR4; R1 = H, alkyl; R2 = alkyl, (substituted) Ph, -PhCH2, -Bz, -pyrimidyl, -benzisoxazol-3-yl, etc.; W = N, CH; X = O, S; Y = H, alkyl, alkoxy, OH, halo, CF3; Z = CH:CH, C≡C; n = 1, 2] were prepd. Thus, N-methyl-N-(4-bromobutyl)-1,2-benzisothiazole-3-carboxamide was condensed with 4-(6-fluoro-1,2-benzisoxazol-3-yl)piperidine to give title compd. II, which had ED50 of 1.5 mg/kg i.p. against apomorphine-induced climbing in mice.

IT **145759-38-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antipsychotic)

RN 145759-38-2 CAPLUS

CN 1,2-Benzisothiazole-3-carboxamide, N-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)

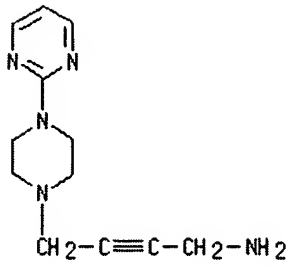


IT **145759-66-6**

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of antipsychotics)

RN 145759-66-6 CAPLUS

CN 2-Butyn-1-amine, 4-[4-(2-pyrimidinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

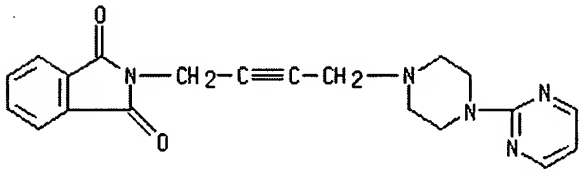


L4 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
Full Text
AN 1992:591868 CAPLUS
DN 117:191868
TI Preparation of 1-piperazinyl-2-butenes and -2-butynes and their antipsychotic activity
IN Ong, Helen Hu; Hrib, Nicholas J.; Perez, Joseh; Jurcak, John Gerhard
PA Hoechst-Roussel Pharmaceuticals Inc., USA
SO Eur. Pat. Appl., 52 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

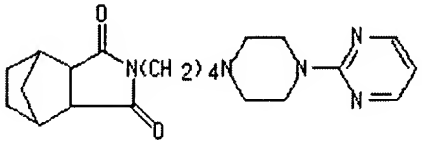
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 494604	A1	19920715	EP 1992-100053	19920103
	EP 494604	B1	19981007		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
	US 5130315	A	19920714	US 1991-639639	19910110
	AT 171942	E	19981015	AT 1992-100053	19920103
	ES 2123520	T3	19990116	ES 1992-100053	19920103
	CA 2059110	AA	19920711	CA 1992-2059110	19920109
	JP 04308570	A2	19921030	JP 1992-19363	19920109
	US 5194436	A	19930316	US 1992-875477	19920429
	US 5334715	A	19940802	US 1993-986415	19930201
	US 5440048	A	19950808	US 1994-238283	19940505
PRAI	US 1991-639639	A	19910110		
	US 1992-875477	A3	19920429		
	US 1993-986415	A3	19930201		

OS MARPAT 117:191868
GI For diagram(s), see printed CA Issue.
AB Piperazines I [A = substituted phthalimido, 7,9-dioxo-8-azaspirodecane-8-yl, 2,4-dioxothiazolidin-3-yl, substituted 1-oxoisoindol-2-yl, etc., B = C≡C, cis- and trans-CH:CH, D = substituted Ph, substituted 2-pyrimidinyl, substituted (iso)quinolinyl, substituted benzothiazol-3-yl, substituted benzothiophen-3-yl] were prepd. by reacting ACH2B with piperazines II to give I (B = C≡C), which were optionally catalytically hydrogenated to give I (B = CH:CH) or reacting ACH2CH:CHCH2Hal (Hal = Cl, Br) with II to give I (B = CH:CH). Other methods could be used as well. Thus, 2.81g of phthalic anhydride condensed with 4.80g of (E)-1-amino-4-[4-(2-methoxyphenyl)-1-piperazinyl]-2-butene in 125mL toluene under reflux to give I [A = phthalimido, B = (E)-CH:CH, D = 2-MeOC6H4] (III) in 22% yield. III (ED50 = 7.3 mg/kg) and three other compds. were evaluated for antipsychotic activity.
IT **144009-92-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrogenation of)
RN 144009-92-7 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



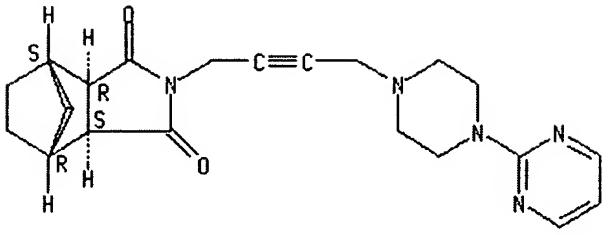
L4 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
Full Text
AN 1992:83631 CAPLUS
DN 116:83631
TI Synthesis and anxiolytic activity of N-substituted cyclic imides (1R*,2S*,3R*,4S*)-N-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]-2,3-bicyclo[2.2.1]heptanedicarboximide (tandospirone) and related compounds
AU Ishizumi, Kikuo; Kojima, Atsuyuki; Antoku, Fujio
CS Res. Lab., Sumitomo Pharm. Co., Ltd., Osaka, 554, Japan
SO Chemical Pharmaceutical Bulletin (1991), 39(9), 2288-300
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
GI



I

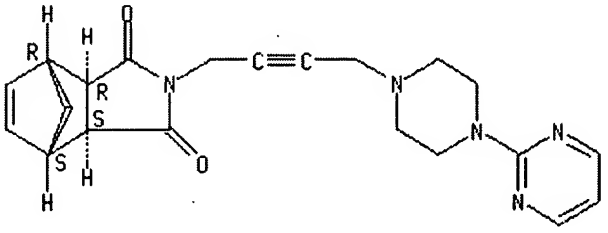
AB A series of cyclic imides bearing ω-(4-aryl and 4-heteroaryl-1-piperazinyl)alkyl moieties, e.g., I, was synthesized and tested in vivo for anxiolytic activity. The in vitro binding affinities of these compds. were also examd. for 5-HT1A receptor sites. Structure-activity relationships within the series are discussed. Tandospirone (I) was equipotent with buspirone in its anxiolytic activity and more anxio-selective than buspirone and diazepam. I is currently undergoing clin. evaluation as a selective anxiolytic agent.
IT **120596-77-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and anxiolytic activity of)
RN 120596-77-2 CAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, hexahydro-2-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, (3aα,4β,7β,7aα)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



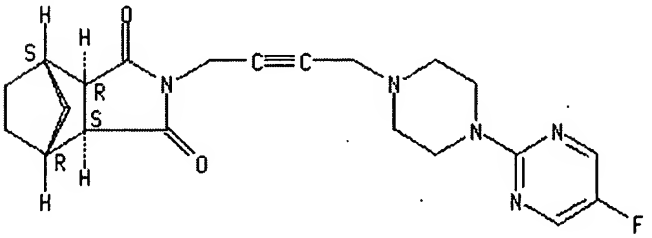
IT **116732-68-4P 138274-05-2P 138274-07-4P**
138693-54-6P 138693-55-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 116732-68-4 CAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 3a,4,7,7a-tetrahydro-2-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, (3aα,4β,7β,7a.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



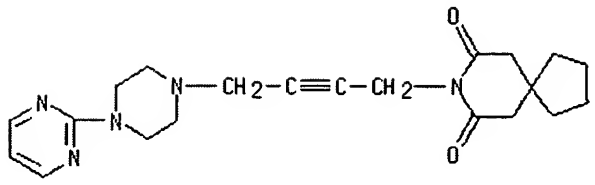
RN 138274-05-2 CAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]-2-butynyl]hexahydro-, monohydrochloride, (3aα,4β,7β,7aα)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



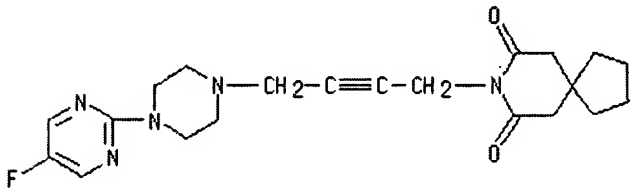
HCl

RN 138274-07-4 CAPLUS
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, monohydrochloride (9CI) (CA INDEX NAME)



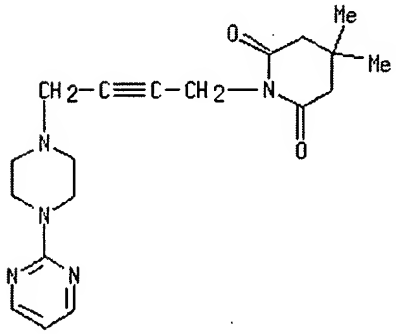
HCl

RN 138693-54-6 CAPLUS
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

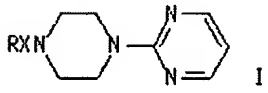
RN 138693-55-7 CAPLUS
CN 2,6-Piperidinedione, 4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

L4 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
Full Text
AN 1991:505837 CAPLUS
DN 115:105837
TI Anxiolytic activity of 1-(2-pyrimidinyl)piperazine derivatives
AU Komissarov, I. V.; Kharin, N. A.; Voshula, V. N.; Tolkunov, S. V.; Kibal'nyi, A. V.; Nikolyukin, Yu. A.; Obraztsova, O. E.; Talalaenko, A. N.; Dulenko, V. I.

CS Med. Inst. im. Gorkogo, Donetsk, USSR
SO Khimiko-Farmatsevticheskii Zhurnal (1991), 25(3), 40-2
CODEN: KHFZAN; ISSN: 0023-1134
DT Journal
LA Russian
OS CASREACT 115:105837
GI

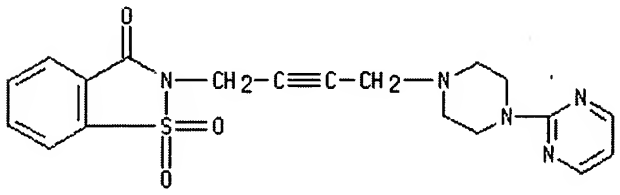


I

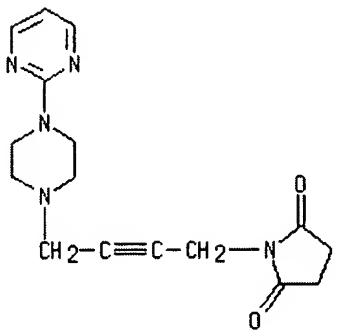
AB Eight derivs. of 1-(2-pyrimidinyl)piperazine [I, R = heterocyclic, X = (CH2)4, CH2C≡C:CH2, or (CH2)3] were prepd. and their pharmacol. activity compared with that of buspirone and ipsapirone. All compds. showed anxiolytic activity and their action on the central nervous system was weaker than diazepam.

IT **135704-99-3P 135705-03-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and anxiolytic activity of)

RN 135704-99-3 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 2-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

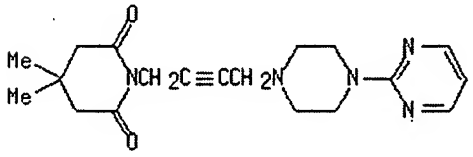


RN 135705-03-2 CAPLUS
CN 2,5-Pyrrolidinedione, 1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)

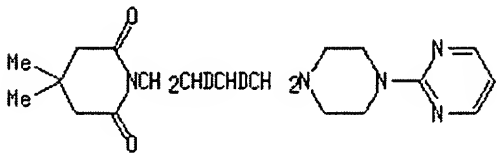


L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

Full Text
AN 1990:35790 CAPLUS
DN 112:35790
TI A general synthetic method suitable for the introduction of deuterium or tritium in buspirone-type anxiolytic agents
AU Welch, Willard M.; Viverios, D. M.
CS Cent. Res. Div., Pfizer, Inc., Groton, CT, 06340, USA
SO Journal of Labelled Compounds and Radiopharmaceuticals (1989), 27(6), 701-6
CODEN: JLCRD4; ISSN: 0362-4803
DT Journal
LA English
OS CASREACT 112:35790
GI



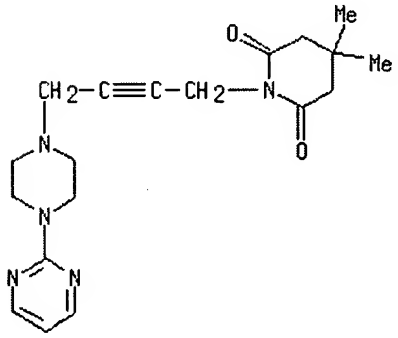
I



II

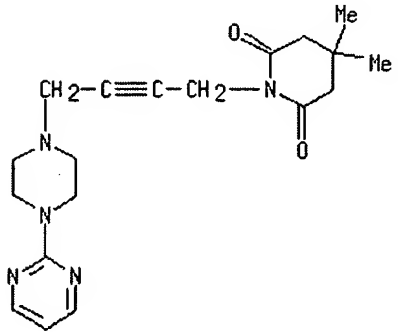
AB Butynyl analog I of gepirone was prepd. in 2 steps from 4,4-dimethylglutarimide by substitution reaction with propargyl bromide and then Mannich reaction with HCHO and 1-(2-pyrimidinyl)piperazine. Partial hydrogenation of I over the Lindlar catalyst further poisoned with quinoline gave the butenyl analog, which was deuterated to give dideuteriogepirone II.

IT **116732-71-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 116732-71-9 CAPLUS
CN 2,6-Piperidinedione, 4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, hydrochloride (9CI) (CA INDEX NAME)



x HCl

IT **116732-70-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., conversion to hydrochloride, and hydrogenation of)
RN 116732-70-8 CAPLUS
CN 2,6-Piperidinedione, 4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)

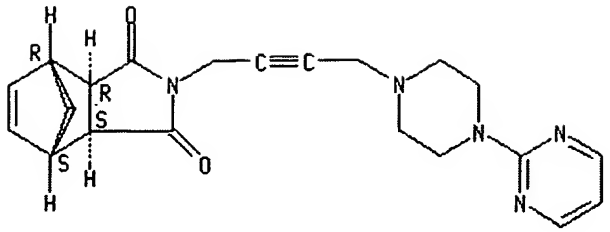


L4 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
Full Text
AN 1989:231663 CAPLUS
DN 110:231663
TI Preparation of (piperazinylbutynyl)- and (piperazinylbutenyl)bicycloheptane derivatives as tranquilizers
IN Kojima, Yoshiyuki; Maruyama, Isamu; Antoku, Fujio; Ishizumi, Kikuo
PA Sumitomo Pharmaceuticals Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

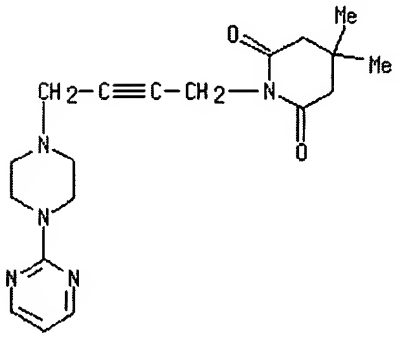
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 63010786	A2	19880118	JP 1986-154747	19860701
PRAI JP 1986-154747		19860701		

OS MARPAT 110:231663
GI For diagram(s), see printed CA Issue.
AB The title compds. (I; R = Q1, Q2, etc.; R1 = Ph, 2-pyridinyl, 2-pyrimidinyl, etc.; Z = CH:CH, C≡C), useful as tranquilizers (no data), were prepd. A mixt. of exo-N-propargylbicyclo[2.2.1]heptane-2,3-dicarboximide, 1-(2-pyrimidinyl)piperazine, and 35% aq. HCHO in dioxane contg. CuSO4 was stirred 2 h at 70-80° to give 99% exo-N-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]bicyclo[2.2.1]heptane-2,3-dicarboximide.
IT **116732-68-4P 116732-70-8P 118286-97-8P**
120596-77-2P 120596-80-7P 120665-00-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as tranquilizer)
RN 116732-68-4 CAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 3a,4,7,7a-tetrahydro-2-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, (3aα,4β,7β,7a.alpha.)- (9CI) (CA INDEX NAME)

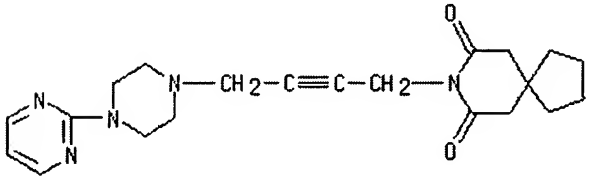
Relative stereochemistry.



RN 116732-70-8 CAPLUS
CN 2,6-Piperidinedione, 4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)

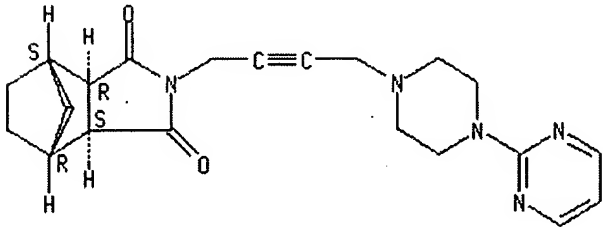


RN 118286-97-8 CAPLUS
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



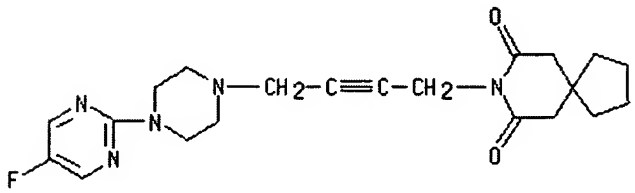
RN 120596-77-2 CAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, hexahydro-2-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, (3aα,4β,7β,7aα)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



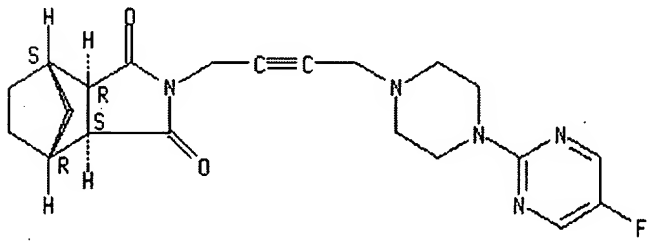
RN 120596-80-7 CAPLUS

CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



RN 120665-00-1 CAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]-2-butynyl]hexahydro-, (3aα,4β,7β,7aα)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



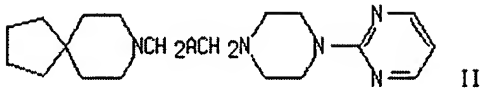
L4 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
Full Text
AN 1989:39018 CAPLUS
DN 110:39018
TI Preparation of buspirone
IN Budai, Zoltan; Gregor Boros, Livia; Mezei, Tibor; Reiter Esses, Klara; Tajthy Juhasz, Eva Judit
PA EGIS Gyogyszergyar, Hung.
SO Ger. Offen., 14 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3806009	A1	19880908	DE 1988-3806009	19880225
	DE 3806009	C2	19960912		
	HU 45991	A2	19880928	HU 1987-717	19870225
	HU 198204	B	19890828		
	HU 45992	A2	19880928	HU 1987-718	19870225
	HU 198477	B	19891030		
	HU 46673	A2	19881128	HU 1987-716	19870225
	HU 197313	B	19890328		
	PL 152958	B1	19910228	PL 1988-270815	19880223
	CH 677924	A	19910715	CH 1988-675	19880223
	CN 88100923	A	19880928	CN 1988-100923	19880224
	DK 8800993	A	19880826	DK 1988-993	19880225
	FI 8800895	A	19880826	FI 1988-895	19880225
	SE 8800651	A	19880826	SE 1988-651	19880225

	GB 2201417	A1	19880901	GB 1988-4385	19880225
	GB 2201417	B2	19901031		
	NL 8800484	A	19880916	NL 1988-484	19880225
	JP 63225370	A2	19880920	JP 1988-43240	19880225
	FR 2615853	A1	19881202	FR 1988-2286	19880225
	FR 2615853	B1	19910104		
	ES 2009236	A6	19890916	ES 1988-543	19880225
	BE 1001464	A3	19891107	BE 1988-218	19880225
	DD 281384	A5	19900808	DD 1988-313196	19880225
	CS 274423	B2	19910411	CS 1988-1222	19880225
	CA 1300141	A1	19920505	CA 1988-559846	19880225
	IL 85545	A1	19920525	IL 1988-85545	19880225
	AT 8800476	A	19920815	AT 1988-476	19880225
	AT 395851	B	19930325		
PRAI	HU 1987-716	A	19870225		
	HU 1987-717	A	19870225		
	HU 1987-718	A	19870225		

OS MARPAT 110:39018

GI



II

AB The title compd. (I) was prepd. by an improved method involving redn. of unsatd. precursor II (A = C≡C, CH:CH). 8-Azaspiro[4,5]decane-7,9-dione and HC≡CCH2Br were refluxed 1 h in MeCN contg. K2CO3 to give 8-(2-propynyl)-8-azaspiro[4,5]decane-7,9-dione which was refluxed with 1-(2-pyrimidinyl)piperazine and HCHO in dioxane contg. Cu(OHc)2 to give II (A = C≡C). The latter was hydrogenated over Pd/C in EtOH to give I.

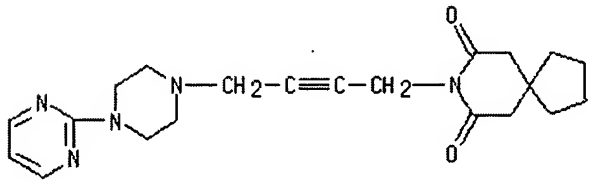
IT **118286-97-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in novel prepn. of buspirone)

RN 118286-97-8 CAPLUS

CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

Full Text

AN 1989:23914 CAPLUS

DN 110:23914

TI Preparation of N-(piperazinylbutyl)imide derivatives as tranquilizers and psychotropics

IN Kojima, Yoshiyuki; Maruyama, Isamu; Antoku, Fujio; Ishizumi, Kikuo

PA Sumitomo Pharmaceuticals Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 63010760	A2	19880118	JP 1986-154748	19860701
PRAI	JP 1986-154748		19860701		

OS MARPAT 110:23914
GI

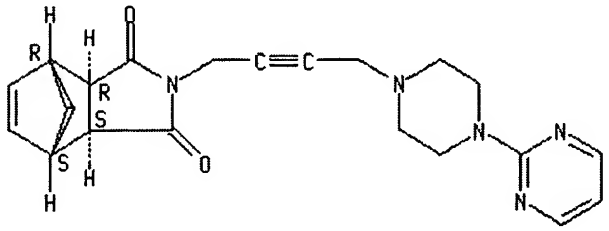
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = Q1, Q3, Q4, Q5 and R2 = (halo-, C1-4 alkoxy-, cyano-substituted) Ph, 2-pyridyl, or 2-pyrimidyl; R1 = Q3, Q4, Q5 and R2 = 1,2-benz-3-isothiazolyl; Y = (CH2)2] (II), useful as psychotropics and minor tranquilizers (no data), are prepd. from I (R1 = Q1-Q2; Y = CH:CH, C≡C)(III). A mixt. of bicyclo[2.2.1]heptane-2,3-dioxocarboxamide, BrCH2C≡CH, K2CO3 and Me2CO was refluxed for 1h to give the corresponding N-propargylbicycloheptane deriv., which in dioxane was treated with 35% aq. HCHO and 1-(2-pyrimidyl)piperazine in H2O in the presence of copper sulfate to give 99% IV (R3R5 = R4R6 = bond). The latter compd. in THF was hydrogenated in the presence of 10% Pd/C to afford 88.6% IV (R3-R6 = H) isolated as its citrate.

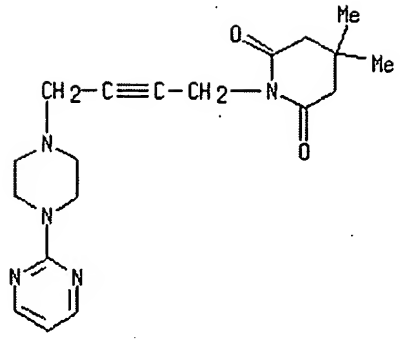
IT **116732-68-4P 116732-70-8P 116732-71-9P**
116732-72-0P 116732-74-2P 116753-46-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrogenation of, in prepn. of piperazinylbutylimide tranquilizers and psychotropics)

RN 116732-68-4 CAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 3a,4,7,7a-tetrahydro-2-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, (3aα,4β,7β,7a.alpha.)- (9CI) (CA INDEX NAME)

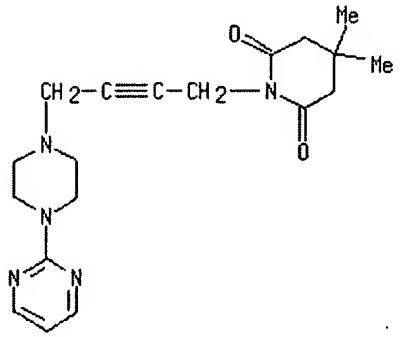
Relative stereochemistry.



RN 116732-70-8 CAPLUS
CN 2,6-Piperidinedione, 4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)

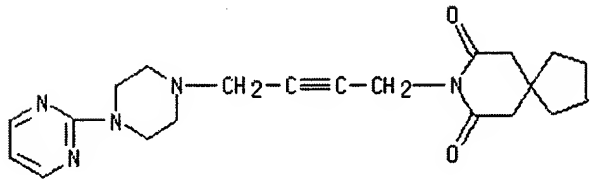


RN 116732-71-9 CAPLUS
CN 2,6-Piperidinedione, 4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, hydrochloride (9CI) (CA INDEX NAME)



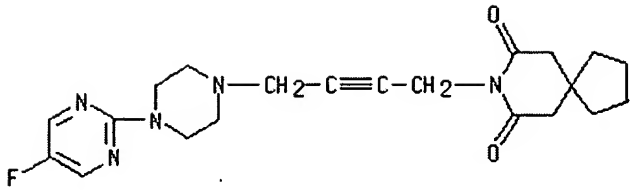
x HCl

RN 116732-72-0 CAPLUS
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, hydrochloride (9CI) (CA INDEX NAME)



x HCl

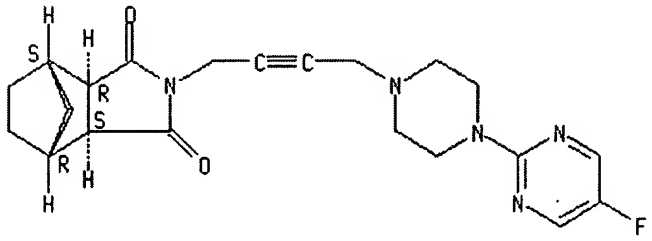
RN 116732-74-2 CAPLUS
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, hydrochloride (9CI) (CA INDEX NAME)



x HCl

RN 116753-46-9 CAPLUS
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]-2-butynyl]hexahydro-, hydrochloride, (3aα,4β,7β,7aα)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



x HCl

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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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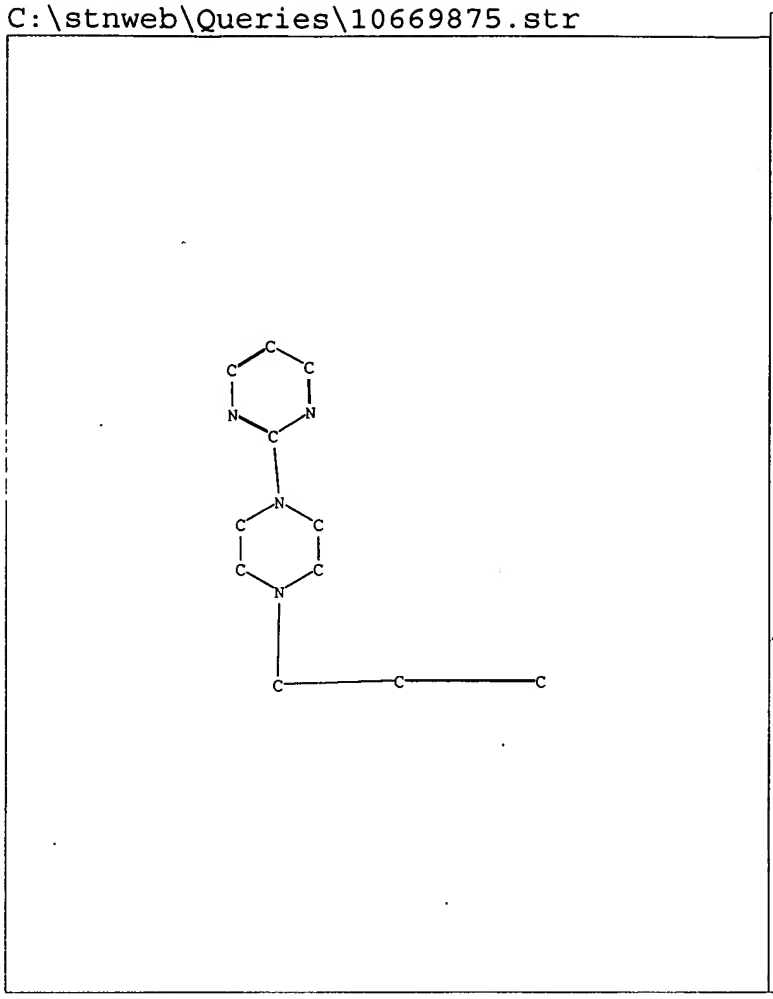
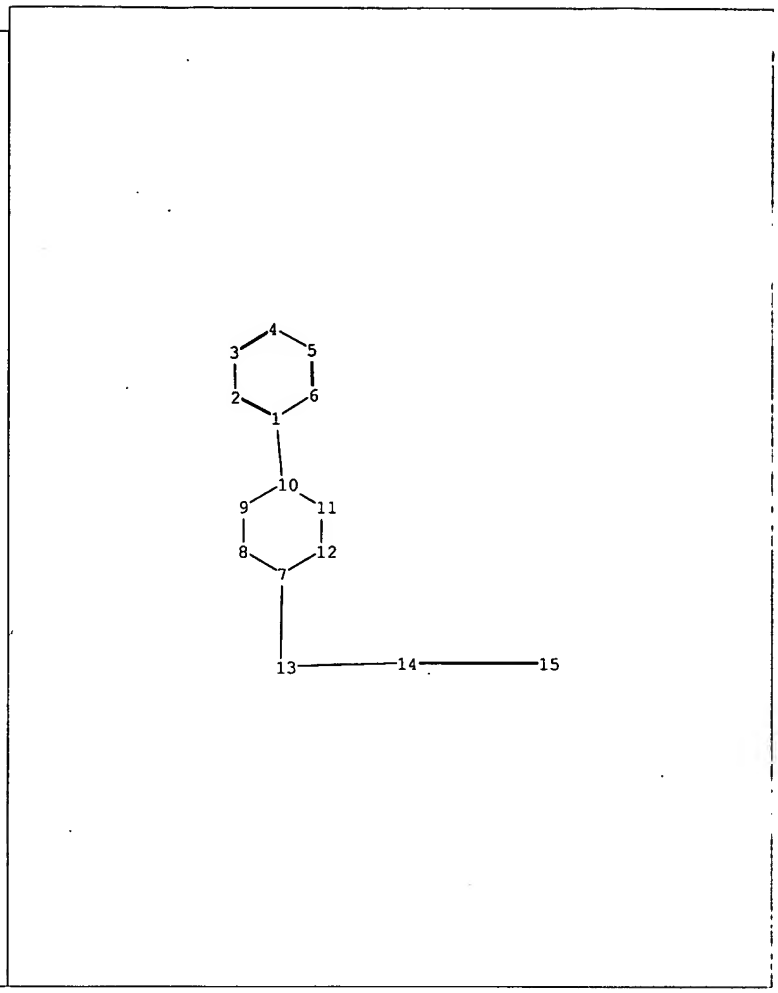
all substance data from the REGISTRY file. Enter HELP FIRST for more information.

```
=> s l3
L5              0 L3

=>
```

C:\stnweb\Queries\10669875.str





chain nodes :
13 14 15
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
chain bonds :
1-10 7-13 13-14 14-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
1-10 7-8 7-12 7-13 8-9 9-10 10-11 11-12
exact bonds :
13-14 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 7 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS